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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)


Applicant's or agent's file reference PH/5-70187A	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP 03/13716	International filing date (day/month/year) 04.12.2003	Priority date (day/month/year) 05.12.2002
International Patent Classification (IPC) or both national classification and IPC C07C253/30		
Applicant SYNGENTA PARTICIPATIONS AG et al.		

- This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 4 sheets, including this cover sheet.
 - ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 18.06.2004	Date of completion of this report 14.12.2004
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Heibl, C Telephone No. +49 89 2399-8331



**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/EP 03/13716**

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-21 as originally filed

Claims, Numbers

1-15 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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**INTERNATIONAL PRELIMINARY
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International application No. **PCT/EP 03/13716**

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	1-15
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-15
Industrial applicability (IA)	Yes: Claims	1-15
	No: Claims	

2. Citations and explanations

see separate sheet

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP 03/13716

Re Item V -----

(The numbering of the prior art documents (D1,D2..) cited hereinafter corresponds to the order in which they are mentioned in the International Search Report.)

The invention as presented in the present application provides an improved process for the preparation of phenylmalonic acid dinitrile by Pd-catalysed CC-linking of an aryl compounds (II) having a leaving group X and malonic acid dinitrile. In particular, the invention is based on the finding that the reaction can advantageously be carried out when a **alkali metal hydroxide**, preferably NaOH or KOH or mixtures thereof, is used as a base instead of the bases mentioned in the prior art. The technical advantages associated with the process of the invention are indicated in the description in the paragraph bridging pages 3 and 4.

Patent Abstract **D1**, the closest prior art document which is available, already teaches to effect CC-linking of an aryl halide with malonic dinitrile ('malononitrile') in the presence of a Pd-catalyst (1,1-bis(diphenyl-phosphino)ferrocene)palladium (II) chloride) and in the presence of a base, preferably **sodium hydroxide**.

The **abstract D1** does not mention the additional presence of a reaction solvent/diluent (although the use of a suitable solvent might be not excluded), the novelty of the process claimed may be acknowledged (Art. 33(2) PCT).

However, it is not apparent that the present process involves an inventive step as required by Art. 33(3) PCT, since D1 already anticipates the **essential** feature of the present process, viz. the use of an alkali metal hydroxide, preferably sodium hydroxide, as base.

D3-D6 are all concerned with the same or similar CC-linking reaction as the present process. However, these documents teach and suggest to use of other bases, e.g. 'weakly nucleophilic base' such as tri-alkali metal phosphates, alkali metal and earth alkaline metal hydrides, amides or alcoholates (cf. e.g. D3, page 13, 2nd paragraph). D2 is still more remote in that it is concerned with an uncatalyzed (i.e. not catalyzed by a transition metal such as Pd) reaction of 1-chloro-4-nitroanthraquinone with malononitrile which is carried out in the presence of powdered KOH.

The requirements of Art. 33(4) are considered to be met.

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